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US 10/574211

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L3 2698 SEA FILE-REGISTRY SSS FUL L1

L5 66 SEA FILE-HCAPLUS ABB-ON PLU-ON L3

L6 16 SEA FILE=HCAPLUS ABB=ON PLU=ON L5 AND (AY=<2003 OR PY=<2003 OR PRY=<2003 OR PD=< OCTOBER 30, 2003)

L7 12 SEA FILE=HCAPLUS ABB=ON PLU=ON L5(L)(?DRUG? OR ?PHARMA? OR

?MEDIC? OR ?THERAP?)

L8 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 AND L7

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L8 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:284200 HCAPLUS Full-text

DOCUMENT NUMBER: 142:355286

TITLE: Preparation of heteroaryl-substituted

1,3-dihydroindol-2-one derivatives and medicaments

containing them

INVENTOR(S): Lubisch, Wilfried; Hornberger, Wilfried; Oost,

Thorsten K.; Sauer, Daryl Richard; Unger, Liliane;

Wernet, Wolfgang

PATENT ASSIGNEE(S): Abbott GmbH & Co. Kg, Germany SOURCE: U.S. Pat. Appl. Publ., 24 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

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US	US 20050070718						2005	0331		US 2003-675300					20030930 <			
CA	CA 2537598						2005	0050407			CA 2004-2537598				20040930 <			
WO	WO 2005030755				A1 20050407			WO 2004-EP10940						20040930 <				
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					A1	1 20070809				US 2007-574211								
PRIORIT	Y APP	LN.	INFO	.:													930 <	<
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OTHER SOURCE(S): CASREACT 142:355286; MARPAT 142:355286

$$R^3$$
 R^5
 R^6
 R^6

AB The present invention relates to novel 1,3-dihydroindol-2-one (oxindole) derivs. of the formula (I) [A = each (un)substituted aromatic heteromonocyclic or aromatic or partially aromatic heterobicyclic ring, where the heterocycles are 5- or 6-membered rings and comprise up to 4 heteroatoms selected from the group consisting of N, O and S, and up to 2 oxo groups; R3, R4, R6, R7 = H, Cl, Br, iodo, F, cyano, CF3, OCF3, NO2, OH, C1-4 alkoxy, PhO, phenyl-C1-4 alkenyloxy, Ph, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, NH2, mono- or di(C1-4 alkyl)amino; or R3 and R4 are connected to give -CH:CH-CH:CH-, -(CH2)4- or -(CH2)3-; R5= a radical (W)-(X)-(Y)-Z; where W=C1-4 alkylene, C2-4 alkenylene, C2-4 alkynylene, O, O-(C1-4 alkylene), S, S-(C1-4 alkylene), N-(un)substituted NH or NH-(C1-4 alkylene), a bond; X = CO, CO-O, SO2, each (un)substituted NH, NH-CO, NH-SO2, or CO-NH, a bond; Y = C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, a bond; Z = H, E, each (un)substituted OH, NH2, or SH; where E = (un)substituted, unsatd., saturated or partially unsatd. mono, bi- or tricyclic ring having a maximum of 14 carbon atoms and 0 to 5 nitrogen atoms, 0 to 2 oxygen atoms and/or 0 to 2 sulfur atoms;] and their tautomeric forms, enantiomeric and diastereomeric forms, and prodrugs thereof. These compds. can be used for the control and/or prophylaxis of various vasopressin-dependent or oxytocin-dependent diseases, for example for the treatment of (1) depressions and/or bipolar disorders such as dysthymic disorders, subsyndromal depression, seasonal affected disorders, premenstrual dysphoric disorders and/or psychotic disorders, (2) anxiety and/or stress-related disorders such as, for example, general anxiety disorders, panic disorders, obsessive-compulsive disorders, posttraumatic disorders, acute stress disorders and/or social phobia, (3) memory disorders and/or Alzheimer's disease, (4) psychoses and/or psychotic disorders, or (5) Cushing's syndrome. Thus, (2S,4R)-4hydroxypyrrolidine-2-carboxylic acid dimethylamide hydrochloride (0.78 g, 4.0 mmol) was added to a solution of 3-(benzothiazol-2-yl)-3,5-dichloro-1,3-dihydroindol-2one, in a mixture of dichloromethane 9, THF 2 and diisopropylethylamine 2 mL and the reaction mixture was stirred at room temperature for 48 h to give, after workup and silica gel chromatog., two diastereomers of (2S,4R)-1-[3-(Benzothiazol-2-yl)-5chloro-2-oxo-2,3-dihydro-1H-indol-3-vl]- 4-hydroxypyrrolidine-2-carboxylic acid dimethylamide. NaH (12 mg 60% dispersion in mineral oil) was added to an ice-cold solution of the less polar diastereomer product from the above (115 mg, 0.25 mmol) in DMF (1.5 mL). The reaction mixture was stirred at 0° for 1 h and then treated with 2,4-dimethyloxyphenylsufonyl chloride (71 mg, 0.3 mmol), and stirred at room temperature for 1 h to give, after workup and silica gel chromatog., 93 mg (+)-

US 10/574211

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(25,4R)-1-[3-(benzothiazol-2-yl)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2-oxo-2,3-dihydro-1H-indol-3-yl]-4- hydroxypyrrolidine-2-carboxylic acid dimethylamide (II) as a white solid. II in vitro binding affinity to vasopressin VIb receptor with <50 mM.

1053641-66-9 1053644-08-8 1056963-34-8 1056963-37-1 1056963-35-2 1056963-38-2 1056963-38-2 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-38-3 1056963-
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                            1056963-70-2
1056963-71-3
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RL: PRPH (Prophetic)

(Preparation of heteroaryl-substituted 1,3-dihydroindol-2-one derivatives and medicaments containing them)

RN 1053641-66-9 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-2,3-dihydro-3-(2-ethoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-diethyl-, (2S, 4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1053644-08-8 HCAPLUS
- CN 2-Pyrrolidinecarboxanide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-1-[(3-methylbenzo|bithien-2-yl)sulfonyl]-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (2S,4R)- (CA INDEX NAMB)

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- RN 1056963-34-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-1-[(5-methyl-2-thienyl)sulfonyl]-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1056963-35-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N,3trimethyl-, (25,4%)- (CA INDEX NAME)

- RN 1056963-36-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2, 3-dihydro-3-(2-methoxyphenyl)-2oxo-1-[[5-(rrifluoromethyl)-2-pyridinyl]sulfonyl]-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

- RN 1056963-37-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-1-[(5-methoxy-2-pyridinyl)sulfonyl]-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

- RN 1056963-38-2 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(5-chloro-2-pyridinyl)sulfonyl]2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

- RN 1056963-39-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-1-[(5-methyl-2-pyridinyl)sulfonyl]-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (2R,48)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 1056963-40-6 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-1-[(6-methoxy-3-pyridinyl)sulfonyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

- RN 1056963-42-8 HCAPLUS
- CN 1-Piperazinecarboxylic acid, 4-(2-pyridinyl)-, 5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxy-3-pyridinyl)-2-oxo-1H-indol-3-yl ester (CA INDEX NAME)

- RN 1056963-43-9 HCAPLUS
- CN 1-Piperazinecarboxylic acid, 4-(4-pyridinyl)-, 5-chloro-3-(2,4-dimethoxy-5-pyrimidinyl)-2,3-dihydro-2-oxo-1-(8quinolinylsulfonyl)-Hh-indol-3-yl ester (CA INDEX NAME)

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- RN 1056963-44-0 HCAPLUS
- CN 1-Piperazinecarboxylic acid, 4-(2-pyridinyl)-, 5-chloro-2,3-dihydro-3-(2-methoxy-3-pyridinyl)-2-oxo-1-(8quinolinylsulfonyl)-lH-indol-3-yl-ester (CA INDEX NAME)

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RN 1056963-45-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-(4-pyridinyl)-, 5-chloro-2,3-dihydro-3-(2-methoxy-3-pyridinyl)-2-oxo-1-(8quinolinylsulfonyl)-1H-indol-3-yl ester (CA INDEX NAME)

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- RN 1056963-46-2 HCAPLUS
- CN 1-Piperidinecarboxylic acid, 4-(4-pyridinyl)-, 5-chloro-2,3-dihydro-3-(2-methoxy-3-pyridinyl)-2-oxo-1-(8quinolinylsulfonyl)-1H-indol-3-yl ester (CA INDEX NAME)

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- RN 1056963-47-3 HCAPLUS
- CN 1-Piperazinecarboxylic acid, 4-(1-methyl-4-piperidinyl)-, 5-chloro-2,3-dihydro-3-(2-methoxy-3-pyridinyl)-2-oxo-1-(phenylsulfonyl)-1H-indol-3-yl ester (CA INDEX NAME)

- RN 1056963-50-8 HCAPLUS
- CN 4-Piperidinecarboxamide, N-[5-chloro-2,3-dihydro-3-(2-methoxy-3-pyridinyl)-2-oxo-1-(8-quinolinylsulfonyl)-1H-indol-3-yl]-1-(4-pyridinyl)- (CA INDEX NAME)

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RN 1056963-51-9 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(2,4-dimethoxy-5-pyrimidinyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 1056963-52-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[3-(2-benzothiazoly1)-5-chloro-1-[(2,4-dimethoxypheny1)sulfnoy1]-2,3-dihydro-2-oxo-1H-indo1-3-y1]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 1056963-53-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxy-3-pyridinyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

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RN 1056963-54-2 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methyl-3-pyridinyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

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RN

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2-chloro-3-pyridinyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

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$$\mathbb{R}$$

- RN 1056963-56-4 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(4-methyl-3-pyridinyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

- RN 1056963-57-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-3-(2-pyrazinyl)-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-,

(2S, 4R) - (CA INDEX NAME)

Absolute stereochemistry.

RN 1056963-58-6 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(3,6-dimethoxy-4-pyridazinyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1056963-59-7 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-

2,3-dihydro-3-(4-isoquinolinyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1056963-60-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl)-2,3-dihydro-2-oxo-3-(3-quinolinyl)-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,48)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1056963-61-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-3-(2-thiazolyl)-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

RN 1056963-62-2 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(1-methyl-1H-benzimidazo1-2-yl)-2-oxo-1H-indo1-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1056963-63-3 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(1-methyl-1H-imidazol-2-yl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1056963-64-4 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[3-(2-benzoxazoly1)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfionyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1056963-65-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(3-methylbenzo[b]thien-2-yl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1056963-66-6 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(3-methyl-2-thlenyl)-2-oxo-lH-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (2S,4R)- (CA INDEX NAME)

RN 1056963-67-7 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[3-benzo[b]thien-7-yl-5-chloro-1-[(2,4-dimethoxyphenyl)sulfionyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1056963-68-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[3-(7-benzofurany1)-5-chloro-1-[(2,4-dimethoxypheny1)sulfony1)-2,3-dihydro-2-oxo-1H-indol-3-y1]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

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- RN 1056963-69-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[3-(2-benzofuranyl)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1056963-70-2 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(3-methyl-2-furanyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (28,4R)- (CA INDEX NAME)

- RN 1056963-71-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(3-furanyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

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               848865-80-5P
                              848865-81-60
848865-82-7P
               848865-87-2P,
5-Chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(2,4-dimethoxypyrimidin-5-yl)-
2-oxo-2,3-dihydro-1H-indol-3-yl 4-(pyridin-2-yl)piperazine-1-carboxylate
848865-88-3F, 5-Chloro-3-(2,4-dimethoxypyrimidin-5-yl)-2-oxo-1-
[(quinolin-8-yl)sulfonyl]-2,3-dihydro-1H-indol-3-yl
4-(pyridin-2-yl)piperazine-1-carboxylate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
```

(preparation of heteroaryl(phenylsulfonyl)dihydroindolone derivs. for control and/or prophylaxis of various vasopressin-dependent or oxytocin-dependent diseases)

- RN 848865-36-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3R)-3-(2-benzothiazoly1)-5-chloro-1-[(2,4-dimethoxypheny1)sulfiony1-2,3-dihydro-2-oxo-1H-indo1-3-y1]-4-hydroxy-N,N-dimethy1-, (2S,4R)- (CA INDEX NAME)

- RN 848865-38-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3S)-3-(2-benzothiazoly1)-5-chloro-1-[(2,4-dimethoxypheny1)sulfony1-2,3-dihydro-2-oxo-1H-indo1-3-y1]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 848865-40-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-((3R)-5-chloro-1-((2,4-dimethoxyphenyl)sulfonyl)-3-(2,4-dimethoxy-5-pyrimidinyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 848865-42-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3S)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(2,4-dimethoxy-5-pyrimidinyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 848865-44-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(38)-5-chloro-1-[(2,4dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxy-3-pyridinyl)-2-oxo-1Hindol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

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RN 848865-46-3 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[(3R)-5-chloro-1-[(2,4dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxy-3-pyridinyl)-2-oxo-1Hindol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,4R) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-A

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(3-methoxy-2-pyridinyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-A

- RN 848865-50-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(4-methoxy-3-pyridinyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 848865-52-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(3-methoxy-2-pyrazinyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-

dimethyl-, (2S, 4R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

- RN 848865-54-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3R)-5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1(8-quinolinylsulfonyl)-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 848865-56-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-2oxo-1-(2-thienylsulfonyl)-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)-(CA INDEX NAME)

RN 848865-57-6 HCAPLUS

Absolute stereochemistry.

- RN 848865-58-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(5-chloro-2-thienyl)sulfonyl]-2,3dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 848865-59-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(3-bromo-5-chloro-2-thienyl)sulfonyl]-5-

chloro-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 848865-60-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(4-bromo-5-chloro-2-thieny1)sulfony1]-5-chloro-2, 3-dihydro-3-(2-methoxypheny1)-2-oxo-1H-indo1-3-y1]-4-hydroxy-N,N-dimethy1-, (22,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 848865-61-2 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(4,5-dichloro-2-thienyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

- RN 848865-62-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-(benzo[b]thien-2-ylsulfony1)-5-chloro-2,3-dihydro-3-(2-methoxypheny1)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethy1-, (2S,4R)- (CA INDEX NAME)

- RN 848865-63-4 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-1-[(1-meth)-1H-imidazol-4-yl)sulfonyl]-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

- RN 848865-64-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(1,2-dimethyl-1H-imidazol-4-yl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 848865-65-6 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(5-chloro-1,3-dimethyl-1H-pyrazol-4-yl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 848865-66-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxypheny1)-2oxo-1-[(1,3,5-trimethy1-1H-pyrazo1-4-y1)sulfony1]-1H-indo1-3-y1]-4-hydroxy-N.N-dimethy1-, (2S.4R)- (CA INDEX NAME)

- RN 848865-67-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-1-[(5-methyl-1-phenyl-1H-pyrazol-4-yl)sulfonyl]-2-oxo-1H-indol-3-yl]-4hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 848865-68-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(3,5-dimethyl-4isoxazolyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 848865-69-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethyl-5-thiazolyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S, 4R)- (CA INDEX NAME)

- RN 848865-70-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(6-chloroimidazo[2,1-b]thiazol-5-yl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

- RN 848865-71-4 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1-(2-pyridinylsulfonyl)-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 848865-72-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(5-bromo-2-pyridinyl)sulfonyl]-5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (28,4R)- (CA INDEX NAME)

- RN 848865-73-6 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[5-bromo-3-methyl-2-pyridinyl)sulfonyl]-5-chloro-2, 3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (22, 4R)- (CA INDEX NAME)

- RN 848865-74-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(3,5-dimethyl-2-pyridinyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 848865-75-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxypheny1)-2-oxo-1-(3-pyridiny1sulfony1)-1H-indo1-3-y1]-4-hydroxy-N,N-dimethy1-, (2S,4R)- (CA INDEX NAME)

- RN 848865-76-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-1-[6-(4-morpholinyl)-3-pyridinyl]sulfonyl]-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

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- RN 848865-77-0 HCAPLUS

- RN 848865-78-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(5-bromo-6-chloro-3-pyridinyl)sulfonyl]-5-

Absolute stereochemistry.

- RN 848865-79-2 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(3,4-dihydro-4-methyl-2H-1,4-benzoxazin-7-yl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl)-4-hydroxy-N,N-dimethyl-, (25,4R) (CA INDEX NAME)

Absolute stereochemistry.

- RN 848865-80-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1-[(1,2,3,4-tetrahydro-7-isoquinolinyl)sulfonyl]-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 848865-81-6 HCAPLUS
- CN 2H-Indol-2-one, 5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-1,3-dihydro-3hydroxy-3-(3-methyl-2-thienyl)- (CA INDEX NAME)

- RN 848865-82-7 HCAPLUS
- CN Carbamic acid, (4-chlorophenyl)-, 5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(3-methyl-2-thienyl)-2-oxo-1H-indol-3-yl ester (9CI) (CA INDEX NAME)

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PAGE 2-A

RN 848865-87-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-(2-pyridinyl)-, 5-chloro-1-((2, 4-dimethoxyphenyl)sulfonyl)-3-(2, 4-dimethoxy-5-pyrimidinyl)-2,3-dihydro-2-oxo-1H-indol-3-yl ester (CA INDEX NAME)

RN 848865-88-3 HCAPLUS

CN 1-Piperaxinecarboxylic acid, 4-(2-pyridinyl)-, 5-chloro-3-(2, 4-dimethoxy-5-pyrimidinyl)-2, 3-dihydro-2-oxo-1-(8quinolinylsulfonyl)-1H-indol-3-yl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

944798-17-89

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of heteroaryl(phenylsulfonyl)dihydroindolone derivs. for control and/or prophylaxis of various vasopressin-dependent or oxytocin-dependent diseases)

944798-17-8 HCAPLUS RN

CN 2-Pyrrolidinecarboxamide, 1-[(3S)-5-chloro-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1-(8-quinolinvlsulfonvl)-1H-indol-3-vl]-4-hvdroxv-N, N-dimethyl-, (2S, 4R) - (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

L8 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:714579 HCAPLUS Full-text

DOCUMENT NUMBER: 140:245674

TITLE: Functional and Pharmacological Characterization of the

First Specific Agonist and Antagonist for the Vlb Receptor in Mammals

AUTHOR(S): Serradeil-Le Gal, Claudine; Sylvain, Derick;

Gabrielle, Brossard; Maurice, Manning; Jacques,

Simiand; Rolf, Gaillard; Guy, Griebel; Gilles, Guillon Sanofi-Synthelabo Recherche, Toulouse, Fr.

CORPORATE SOURCE: SOURCE: Stress (Abingdon, United Kingdom) (2003), 6(3),

199-206

CODEN: STREFR: ISSN: 1025-3890

PUBLISHER: Taylor & Francis Ltd. DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

US 10/574211

A review. By activating three distinct vasopressin receptor isoforms called Vla-R, AB V1b-R (V3-R) and V2-R, vasopressin (VP) mediates a wide number of biol. effects in mammals and may be involved in several pathol. states. Up to now only specific Vla and V2 receptor agonists and antagonists have been successfully designed. The role of the V1b-R still remains partially unknown, due to the lack of selective V1b-R ligands and orally-active mols., which are crucial tools for investigating the central and peripheral functions or pathol, disorders associated with this receptor. In this review, we report the biol. and pharmacol. properties of the first two specific V1b-R ligands: d[Cha4] AVP, a high affinity V1b-R agonist and SSR 149415, a potent orally-active V1b-R antagonist with good selectivity with respect to other VP/OT receptor isoforms and able to control ACTH secretion in vitro and in vivo. Indeed, these mols. constitute invaluable tools for exploring the central and peripheral roles of VP mediated via V1b receptors. Interestingly, SSR 149415 displays potent anxiolytic and antidepressant-like activities, indicating that this new class of drugs has a promising therapeutical potential in the treatment of stress-related disorders, anxiety and depression.

IT 439687-69-1, SSR 149415

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(vasopressin V1b receptor agonist and antagonist functional and

pharmacol, characterization in mammals)

RN 439687-69-1 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[(3R)-5-chloro-1-[(2,4-

dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS

RECORD (15 CITINGS)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:935598 HCAPLUS Full-text

DOCUMENT NUMBER: 136:69734

TITLE: Preparation and use of dihydroindolone derivatives as

vasopressin receptor ligands

INVENTOR(S): Roux, Richard; Serradeil-Le Gal, Claudine; Wagnon,

Jean

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

US 10/574211

SOURCE: PCT Int. Appl., 91 pp. CODEN: PIXXD2

DOCUMENT TYPE: Pat.ent. LANGUAGE: French

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

GT

DATE DATE PATENT NO. KIND APPLICATION NO. -----A1 20011227 WO 2001-FR1919 20010619 <--WO 2001098295 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 20011221 FR 2000-7885 FR 2810320 A1 20000619 <--FR 2810320 В1 20020823 TW 287011 В 20070921 TW 2001-90114443 20010614 <--EP 1296976 A1 20030402 EP 2001-947534 20010619 <--EP 1296976 B1 20050126 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR HU 2003003118 20040128 HU 2003-3118 A2 20010619 <--HU 2003003118 A3 20070828 JP 2004502654 T 20040129 JP 2002-504251 20010619 <--T AT 287881 20050215 AT 2001-947534 20010619 <--ES 2236260 T3 20050716 ES 2001-947534 20010619 <--US 20030162767 A1 20030828 US 2002-311435 20021216 <--20050308 US 6864277 B2 US 20050176770 20050811 US 2005-64896

20080916 US 7425566 B2 PRIORITY APPLN. INFO .: FR 2000-7885 A 20000619 <--WO 2001-FR1919 W 20010619 <--US 2002-311435 A3 20021216 <--OTHER SOURCE(S): MARPAT 136:69734

20050224 <--

A1

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AB
    Title compds. I [W = O, S; R1 = halo, alkyl, alkoxy, CF3(O); R2 = H, halo, alkyl,
     alkoxy, CF3 or R2 is in the 6-position of the indol-2-one nucleus and forms a
     trimethylene bridge with R1; R3 halo, OH, alkyl, alkoxy, CF30; R4 = H, halo, alkyl,
     alkoxy, or R3, R4 form a methylenedioxy bridge in the 2,3 position of the Ph ring;
     R5 = EtNH, NMe2, azetidin-1-yl, alkoxy; R6 = alkoxy; R7 = alkoxy] were prepared
     Over 35 synthetic examples were disclosed. E.g., addition 2-Methoxyphenylmagnesium
     bromide to 5-chloro-1H-indol-2,3-dione in ether followed by treatment of the
     resulting carbinol with thionyl chloride provided the corresponding α-chloro-indol-
     2-one derivative This was reacted with 2(S)-N.N-dimethylcarboxamidopyrrolidine
     (CHCl3, THF, i-Pr2NEt) and the resulting indole sulfonylated with 2,4-
     dimethoxysulfonyl chloride (DMF, NaH) which yielded II. I exhibit affinity and
     selectivity for V1b arginine-vasopressin receptors or for both V1b and V1a arginine-
     vasopressin receptors.
TΤ
    383425-49-8P 383425-50-1P
                                383425-53-4P
    383425-54-5P 383425-55-6P 383425-56-7P
    383425-58-9P 383425-59-0P 383425-60-3P
    383425-61-4P, 1-[5-Chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(2,5-
    dimethoxyphenyl)-2-oxo-2,3-dihydro-1H-indol-3-yl]-N,N-dimethylpiperidine-2-
    carboxamide 383425-62-5P 383425-63-6P,
    1-[3-(2-Chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-5,6-dimethyl-2-oxo-
    2,3-dihydro-1H-indol-3-yl]-N,N-dimethylpiperidine-2-carboxamide
    383425-64-7P 383425-65-8P 383425-66-9P
    383425-67-0P 383425-68-1P 383425-69-2P
    383425-70-5P 383425-71-6P 383425-72-7P
    383425-73-8P 383425-74-9P 383425-75-0P
    383425-76-1P 383425-77-2P 383425-78-3P
    383425-79-4P 383426-02-6P 383426-03-7P
    383426-04-8P 383426-05-9P 383426-06-0P
    383426-07-1P 383426-08-2P 383426-09-3P
    383426-10-6P 383426-11-7P 383426-12-8P
    383426-13-9P 383426-14-0P 383427-23-4P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
    (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
    (Uses)
       (drug; preparation and use of dihydroindolone derivs. as
       vasopressin receptor ligands)
RN
    383425-49-8 HCAPLUS
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2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S)-

(CA INDEX NAME)
Absolute stereochemistry.

- RN 383425-50-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N-ethyl-, (2S)- (CA INDEX NAME)

- RN 383425-53-4 HCAPLUS
- CN 2H-Indol-2-one, 3-[(2S)-2-(1-azetidinyloarbonyl)-1-pyrrolidinyl]-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-1,3-dihydro-3-(2-methoxyphenyl)- (CA INDEX NAME)

- RN 383425-54-5 HCAPLUS
- CN 2-Pyrrolidinecarbothioamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (28)(CA INDEX NAME)

- RN 383425-55-6 HCAPLUS
- CN L-Proline, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

- RN 383425-56-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2, 4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-hydroxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S)-(CA INDEX NAME)

- RN 383425-58-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-5-(trifluoromethoxy)-1H-indol-3-yl]-N,N-dimethyl-, (25)- (CA INDEX NAME)

- RN 383425-59-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3R)-5,6-dichloro-1-[(2,4dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3yl]-M,N-dimethyl-, (25)- (CA INDEX NAME)

- RN 383425-60-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[6-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]2,3-dihydro-3-(2-methoxyphenyl)-5-methyl-2-oxo-1H-indol-3-yl]-N,N-dimethyl(28)- (CA INDEX NAME)

- RN 383425-61-4 HCAPLUS
- CN 2-Piperidinecarboxamide, 1-[5-chloro-3-(2,5-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl) sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-(CA INDEX NAME)

RN 383425-62-5 HCAPLUS

CN d-Piperidinecarboxamide, 1-[(3R)-5,6-dichloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S)- (CA INDEX NAME)

- RN 383425-63-6 HCAPLUS
- CN 2-Piperidinecarboxamide, 1-[3-(2-chloropheny1)-1-[(2,4-dimethoxypheny1)sulfony1)-2,3-dihydro-5,6-dimethy1-2-oxo-1H-indol-3-y1]-N,N-dimethy1- (CA INDEX NAME)

- RN 383425-64-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5,6-dichloro-1-[(2,4-dimethoxyphenyl) sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-M,N-dimethyl-, (25) (CA INDEX NAME)

- RN 383425-65-8 HCAPLUS
- CN 2-Piperidinecarboxamide, 1-[5,6-dichloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (25)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 383425-66-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,3-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S) (CA INDEX NAME)

RN 383425-67-0 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,4-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S) - (CA INDEX NAME)

Absolute stereochemistry.

RN 383425-68-1 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,6-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S) - (CA INDEX NAME)

RN 383425-69-2 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[3-(1,3-benzodioxol-4-yl)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (25)- (CA INDEX NAME)

Absolute stereochemistry.

RN 383425-70-5 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (25)-(CA INDEX NAME)

- RN 383425-71-6 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]2,3-dihydro-3-(2-methoxy-6-methylphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl, (25)- (CA INDEX NAME)

- RN 383425-72-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5,6-dichloro-3-(2-chloropheny1)-1-[(2,4-dimethoxypheny1)sulfony1]-2,3-dihydro-2-oxo-1H-indol-3-y1]-N,N-dimethy1-, (25)- (CA INDEX NAME)

- RN 383425-73-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[4,5-dichloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S)- (CA INDEX NAME)

PAGE 2-A

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RN 383425-74-9 HCAPLUS CN 2-Pyrrolidinecarboxa

2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-6-methyl-2-oxo-1H-indol-3-yl]-N,N-dimethyl-,(25)- (CA INDEX NAME)

RN 383425-75-0 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-6-methoxy-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (25) (CA INDEX NAME)

Absolute stereochemistry.

RN 383425-76-1 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxy-6-methylphenyl)-5,6-dimethyl-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S)- (CA INDEX NAME)

- RN 383425-77-2 HCAPLUS
- CN 2-Piperidinecarboxamide, 1-[5,6-dichloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (28)-(CA INDEX NAME)

- RN 383425-78-3 HCAPLUS
- CN 2-Piperidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-6-methyl-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S)- (CA INDEX NAME)

- RN 383425-79-4 HCAPLUS
- CN 2-Piperidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-6-(trifluoromethyl)-1H-indol-3-yl]-N,N-dimethyl-, (25)- (CA INDEX NAME)

- RN 383426-02-6 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3S)-5,6-dichloro-1-[(2,4-dimethoxyphenyl)]-ulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl-M,N-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 383426-03-7 HCAPLUS
- CN 2-Piperidinecarboxamide, 1-[(38)-5,6-dichloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (28) (CA INDEX NAME)

RN 383426-04-8 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(3-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 383426-05-9 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(4-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S)-(CA INDEX NAME)

- RN 383426-06-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,5-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (28)- (CA INDEX NAME)

- RN 383426-07-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(3,5-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S)- (CA INDEX NAME)

- RN 383426-08-2 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-3-[2-(trifluoromethoxy)phenyl]-1H-indol-3-yl]-N,Ndimethyl-, (25) (CA INDEX NAME)

- RN 383426-09-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-4-methoxy-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (25)- (CA INDEX NAME)

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- RN 383426-10-6 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)suffonyl]-7-fluoro-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 383426-11-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[4-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl)2,3-dihydro-3-(2-methoxyphenyl)-5-methyl-2-oxo-1H-indol-3-yl]-N,N-dimethyl, (2S)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

- RN 383426-12-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-1,2,3,5,6,7-hexahydro-3-(2-methoxyphenyl)-2-oxocyclopent[f]indol-3-yl]-N,N-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 383426-13-9 HCAPLUS
- CN 2-Piperidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2\$)- (CA INDEX NAME)

RN 383426-14-0 HCAPLUS

CN 2-Piperidinecarboxamide, 1-[5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-7-fluoro-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (25) (CA INDEX NAME)

Absolute stereochemistry.

- RN 383427-23-4 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(2-ethoxyphenyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (2S)-(CA INDEX NAME)

US 10/574211

IT 383425-51-2P 383425-52-3P 383425-57-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation and use of dihydroindolone derivs. as vasopressin receptor ligands)

RN 383425-51-2 HCAPLUS

CN L-Proline, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 383425-52-3 HCAPLUS

CN L-Proline, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2methoxyphenyl)-2-oxo-1H-indol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 383425-57-8 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]2,3-dihydro-2-oxo-3-[2-(phenylmethoxy)phenyl]-1H-indol-3-yl]-N,N-dimethyl, (2S) - (CA INDEX NAME)

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD

(11 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:565027 HCAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 135:137403

TITLE: Preparation of 1,3-dihydro-2H-indol-2-ones with

selective binding affinity for the V1b

arginine-vasopressin receptor for pharmaceutical use INVENTOR(S): Schoentjes, Bruno; Serradeil-Le Gal, Claudine; Wagnon,

Jean Jean Jenventok(5).

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr. SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

				KIND DATE		APPLICATION NO.											
WO 2001055134			A2 20010802			WO 2001-FR228											
WO	2001	0551	34		A3		2002	0314									
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		SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
		YU,	ZA,	ZW													
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		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
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EP	1254	134			B1		2003	0723									
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						

US 10/574211

HU	2002004157	A2	20030428	HU	2002-4157		20010124 <
HU	2002004157	A3	20050428				
HU	225157	B1	20060728				
JP	2003523354	T	20030805	JP	2001-560993		20010124 <
AT	245644	T	20030815	AT	2001-907687		20010124 <
ES	2203596	T3	20040416	ES	2001-907687		20010124 <
US	20030139413	A1	20030724	US	2002-182638		20021125 <
US	6624164	B2	20030923				
PRIORIT	APPLN. INFO.:			FR	2000-958	A	20000125 <
				WO	2001-FR228	W	20010124 <
OTHER SO	DURCE(S):	MARPAT	135:137403				

- AB Morpholinylindolines, such as I [R1 = CF3, OCF3, halogen, alkyl, alkoxy; R2 = H, CF3, halogen, alkyl, alkoxy; R3 = OR, OCF3, halogen, alkyl, alkoxy; R3 = H, halogen, alkyl, alkoxy; R3R4 = H, halogen, alkyl, alkoxy; R6, R7 = alkoxy; R4 = OCH2O; R5 = NBEE, NMe2, azetidin-1-yl, alkoxy; R6, R7 = alkoxy; having affinity and selectivity for Vlb receptors or for both Vlb and Vla arginine-vasopressin receptors, were prepared for pharmaceutical use in the treatment of a variety of conditions, such as hypertension, migraine, myocardial infarction, pulmonary hypertension, etc. Thus, both diastereomers of morpholinylindolinone II were prepared via a multistep synthetic sequence starting from 1-bromo-2-methoxybenzene, 5-chloro-1H-indol-2,3-dione, L-serine, and 2,4-dimethoxybenzenesulfonyl chloride. Binding affinity of the prepared morpholinylindolines for Vlb and Vla arginine-vasopressin receptors was tested with the Vlb receptor being selectively inhibited.
- II 352030-09-2P 352030-12-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,3-dihydro-2H-indol-2-ones with selective binding affinity for the Vlb arginine-vasopressin receptor for pharmaceutical use treating conditions such as hypertension)

RN 352030-09-2 HCAPLUS

CN 3-Morpholinecarboxamide, 4-[(3R)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (3S)- (CA INDEX NAME)

RN 352030-10-5 HCAPLUS

CN 3-Morpholinecarboxamide, 4-[(3\$)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-M,N-dimethyl-, (3\$)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 352030-11-6 HCAPLUS
- CN 3-Morpholinecarboxamide, 4-[6-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-5-methyl-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (3S)- (CA INDEX NAME)

US 10/574211

RN 352030-12-7 HCAPLUS

2N 3-Morpholinecarboxamide, 4-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-6-methyl-2-oxo-1H-indol-3-yl]-N,N-dimethyl-, (35) (2A INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => d stat que 19 L1 STR

14 c. - c 16

VAR G2=15/HY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY AT 10
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC 14 NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L3 2698 SEA FILE=REGISTRY SSS FUL L1 L5 66 SEA FILE=HCAPLUS ABB=ON PLU=ON L3

L6 16 SEA FILE=HCAPLUS ABB=ON PLU=ON L5 AND (AY=<2003 OR PY=<2003 OR PP=<C003 OR PD=< OCTOBER 30, 2003)

7 12 SEA FILE=HCAPLUS ABB=ON PLU=ON L5(L)(?DRUG? OR ?PHARMA? OR ?MEDIC? OR ?THERAP?)

L8 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 AND L7

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L9 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:672891 HCAPLUS Full-text

DOCUMENT NUMBER: 143:146733

TITLE: Methods using Vlb receptor modulators for treating

vasomotor symptoms

INVENTOR(S): Leventhal, Liza; Ring, Robert H.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 14 pp.

CODEN: USXXCO

DOCUMENT TYPE: CODEN: US

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND	DATE
US 20050165082	A1	20050728
PRIORITY APPLN. INFO.:		

The invention discloses methods for treating at least one vasomotor symptom, e.g. hot flush, caused by, inter alia, thermoregulatory dysfunction, in a subject in need thereof by administering to the subject a compound or composition of compds. that modulate the VIb receptor.

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352276-92-7 352276-92-7D, isomers
352276-93-8 352276-93-8D, isomers
352276-95-0 352276-95-0D, isomers
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RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(V1b receptor modulators for treating vasomotor symptoms)

- RN 352276-92-7 HCAPLUS
- 2N 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 352276-92-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 352276-93-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl) sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-methoxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352276-93-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)aulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-methoxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352276-95-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352276-95-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-lH-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,48) (CA INDEX NAME)

- RN 352276-97-2 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-methoxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352276-97-2 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-lH-indol-3-yl]-4-methoxy-N,N-dimethyl-, (28,48) (CA INDEX NAME)

- RN 352276-99-4 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(3,4-dimethoxyphenyl) sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352276-99-4 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

- RN 352277-01-1 HCAPLUS
- CN L-Proline, 1-[5-chloro-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-, methyl ester, (4R)- (CA INDEX NAME)

- RN 352277-01-1 HCAPLUS
- CN L-Proline, 1-[5-chloro-1-[(3,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-, methyl ester, (4R)- (CA INDEX NAME)

- RN 352277-07-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-5-methyl-2-oxo-lH-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 352277-07-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-5-methyl-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 352277-09-9 HCAPLUS
- CN 2H-Indol-2-one, 3-[(2S,4R)-2-(1-azetidinylcarbonyl)-4-hydroxy-1pyrrolidinyl]-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-1,3-dihydro-3-(2methoxyphenyl)- (CA INDEX NAME)

RN 352277-09-9 HCAPLUS

CN 2H-Indol-2-one, 3-[(2S,4R)-2-(1-azetidinylcarbonyl)-4-hydroxy-1pyrrolidinyl)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl)-1,3-dihydro-3-(2-methoxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 352277-11-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-5-(trifluoromethoxy)-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-11-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-5-(trifluoromethoxy)-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

- RN 352277-13-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-6-methyl-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 352277-13-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-6-methyl-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 352277-15-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[3-(2-chloropheny1)-1-[(2,4-dimethoxypheny1)sulfony1]-2,3-dihydro-5,6-dimethy1-2-oxo-1H-indol-3-y1]-4-hydroxy-N,N-dimethy1-, (25,4R)- (CA INDEX NAME)

- RN 352277-15-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-5,6-dimethyl-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-17-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,3-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)]-1-[(2,4-dimethoxyphenyl)]-1-[(2,4-dimethoxyphenyl)]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-methoxy-N,N-dimethyl-, (28,48) (CA INDEX NAME)

- RN 352277-17-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,3-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-methoxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-19-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-6-(trifluoromethyl)-1H-indol-3-yl]-4methoxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 352277-19-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-6-(trifluoromethyl)-1H-indol-3-yl]-4methoxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 352277-21-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[6-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-5-methyl-2-oxo-1H-indol-3-yl]-4-methoxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 352277-21-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[6-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-5-methyl-2-oxo-1H-indol-3-yl]-4-methoxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 352277-23-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]2,3-dihydro-3-(2-methoxyphenyl)>2-oxo-1H-indol-3-yl]-4-ethoxy-N,N-dimethyl,(25,4R)- (CA INDEX NAME)

- RN 352277-23-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-ethoxy-N,N-dimethyl, (25,4R)- (CA INDEX NAME)

- RN 352277-25-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,3-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)]-1-[(2,4-dimethoxyphenyl)]-1-[(2,4-dimethoxyphenyl)]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,48) (CA INDEX NAME)

- RN 352277-25-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,3-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-27-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5,6-dichloro-3-(2-chloropheny1)-1-[(2,4-dimethoxypheny1)sulfony1]-2,3-dihydro-2-oxo-1H-indol-3-y1]-4-hydroxy-N,N-dimethyl-, (28,48) (CA INDEX NAME)

- RN 352277-27-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5,6-dichloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfionyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-33-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl) sulfonyl]-3-(2-ethoxyphenyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N, N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 352277-33-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(2-ethoxyphenyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 352277-37-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,3-difluorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,48) (CA INDEX NAME)

- RN 352277-37-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,3-difluorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-39-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,4-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)]-1-[(2,4-dimethoxyphenyl)]-1-[(2,4-dimethoxyphenyl)]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,48) (CA INDEX NAME)

- RN 352277-39-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,4-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-41-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[3-(1,3-benzodioxol-4-yl)-5-chloro-1-[(2,4-dimethoxyphenyl)eulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,48)- (CA INDEX NAME)

RN 352277-41-9 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[3-(1,3-benzodioxol-4-yl)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfionyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

PAGE 1-A

- RN 352277-43-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5,6-dichloro-1-[(2,4-dimethoxyphenyl)] sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-M,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-43-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5,6-dichloro-1-[(2,4-dimethoxypheny1)sulfony1]-2,3-dihydro-3-(2-methoxypheny1)-2-oxo-1H-indol-3-

yl]-4-hydroxy-N, N-dimethyl-, (2S, 4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 352277-45-3 HCAPLUS

CN Acetic acid, 2-[[(3R,5S)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-5[(dimethylamino)carbonyl]-3-pyrrolidinyl]oxy]-, 1,1-dimethylethyl ester
(CA INDEX NAME)

Absolute stereochemistry.

RN 352277-45-3 HCAPLUS

CN Acetic acid, 2-[[(3R,55)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-5[(dimethylamino)carbonyl]-3-pyrrolidinyl]oxy]-, 1,1-dimethylethyl ester
(CA INDEX NAME)

RN 352277-47-5 HCAPLUS

CN Acetic acid, 2-[[(3R,58)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-5-[(dimethylamino)carbonyl]-2-pyrrolidinyl]oxyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 352277-47-5 HCAPLUS

CN Acetic acid, 2-[[(3R,58)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-lH-indol-3-yl]-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl]oxyl- (CA INDEX NAME)

- RN 352277-50-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-[2-[[2-hydroxy-1(hydroxymethyl)-1-methylethyl]amino]-2-oxoethoxy]-N,N-dimethyl-, (2S,4R)(CA INDEX NAME)

- RN 352277-50-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-[2-[[2-hydroxy-1(hydroxymethyl)-1-methylethyl]amino]-2-oxoethoxy]-N,N-dimethyl-, (2S,4R)(CA INDEX NAME)

RN 352277-52-2 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-4-[2-oxo-2-(1-piperazinyl)ethoxy]-, (28,4R)- (CA INDEX NAME)

- RN 352277-52-2 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-4-[2-oxo-2-(1-piperazinyl)ethoxy]-, (25,4R)- (CA INDEX NAME)

- RN 352277-55-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-4-[2-(4-morpholinyl)-2-oxoethoxy]-, (2S,4R)- (CA INDEX NAME)

RN 352277-55-5 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-M,N-dimethyl-4-[2-(4-morpholinyl)-2-oxoethoxy]-, (2S,4R)- (CA INDEX NAME)

RN 352277-61-3 HCAPLUS

CN L-Proline, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-methoxy-, methyl ester, (4R)- (CA INDEX NAME)

CN L-Proline, 1-[5-chloro-1-](2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-methoxy-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 859987-33-0 HCAPLUS
- CN L-Proline, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2methoxyphenyl)-6-methyl-2-oxo-1H-indol-3-yl]-4-methoxy-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 859987-33-0 HCAPLUS
- CN L-Proline, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-6-methyl-2-oxo-1H-indol-3-yl]-4-methoxy-, methyl ester, (4R)- (CA INDEX NAME)

- RN 859987-34-1 HCAPLUS
- CN 4-Morpholinepropanoic acid, (3R,58)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl)-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl ester (CA INDEX NAME)

- RN 859987-34-1 HCAPLUS
- CN 4-Morpholinepropanoic acid, (3R,58)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)] sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl ester (CA INDEX NAME)

- II 439687-69-1, SSR149415 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
- (V1b receptor modulators for treating vasomotor symptoms) RN 439687-69-1 HCAPLUS
- RN 439687-69-1 HCAPLUS CN 2-Pyrrolidinecarboxa
 - N 2-Pyrrolidinecarboxamide, 1-[(3R)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L9 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:219790 HCAPLUS Full-text

DOCUMENT NUMBER: 142:298331

TITLE: Preparation of

1-[1-(benzenesulfonyl)-3-phenyl-2-oxo-1,3-dihydro-2H-

indol-3-yl]-4-fluoro-L-proline derivatives as antagonists of arginine-vasopressin V1b receptor

INVENTOR(S): Kumagai, Toshihito; Kuwada, Takeshi; Shibata,
Tsuyoshi; Hayashi, Masato; Fujisawa, Yuri; Sekiguchi,

Yoshinori

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

					KIND DATE				APPLICATION NO.									
WO					A1 20050310			WO 2004-JP12398						20040827 <				
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	
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US	US 7528124				B2 20090505													
PRIORITY	PRIORITY APPLN. INFO.:									JP 2003-209401					A 20030828 <			
										WO 2	004-	JP12:	398		W 2	0040	827	
OTHER CO	TUED COMPORTER.						Mannag 142.200331											

OTHER SOURCE(S): MARPAT 142:298331

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$$\begin{array}{c}
\mathbb{R}^4 \\
\mathbb{R}^2 \\
\mathbb{R}^3 \\
\mathbb{R}^5 \\
\mathbb{R}^5 \\
\mathbb{R}^6
\end{array}$$

AB 1,3-Dihydro-2H-indol-2-one derivs. represented by the formula (I) (wherein R1 = halogeno, C1-4 alkyl, C1-4 alkoxy, CF3, CF3O; R2 = H, halogeno, C1-4 alkyl, C1-4 alkoxy, CF3; or R2 is present in the 6-position of the indol-2-one and is bonded to R1 to form C3-6 alkylene; R3 = halogeno, hydroxy, C1-4 alkyl, C1-4 alkoxy, CF30; R4 = H, halogeno, C1-4 alkvl, C1-4 alkoxv; or R4 is present in the 3-position of the Ph and is bonded to R3 to form methylenedioxy; R5 = H, F; R6 = ethylamino, dimethylamino, azetidin-1-yl, C1-4 alkoxy; R7, R8 = C1-4 alkoxy) or pharmaceutically acceptable salts thereof are prepared These compds. have antagonistic activity against an arginine-vasopressin V1b receptor and are useful for the prevention or treatment of depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington chorea, eating disorder, hypertension, digestive tract diseases, drug dependence, epilepsy, cerebral infarction, cerebral ischemia, cerebral edema, head trauma, inflammation, immune diseases, and alopecia. Thus, 3.78 g 3,5-dichloro-3-(2-methoxyphenyl)-1,3-dihydro-2H-indol-2-one and 7.27 g (4R)-4-fluoro-N,N-dimethyl-L-prolinamide trifluoroacetate were suspended in 40 mL CHC13, treated with 7.47 g Et3N, and stirred at room temperature for 13 h to give, after silica gel chromatog., (+) - and (-) - (4R) -1 - [5-chloro-3 - (2-methoxyphenyl) -2-oxo-2, 3-dihydro-1H-indol-3-yl] -4-fluoro-N, N-dimethyl-L-prolinamide (II). (-)-II (2.00 g) was added to a mixture of 0.215 g NaH and 20 mL DMF under ice-cooling, stirred for 40 min, treated with a solution of 1.27 q 2,4-dimethoxybenzenesulfonyl chloride in 5 mL DMF, and stirred for 35 min under ice-cooling and then at room temperature for 1 h to give (-)-(4R)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3- (2-methoxyphenyl)-2-oxo-2,3dihydro-1H-indol-3-yl]-4-fluoro-N, N-dimethyl-L- prolinamide (III). III inhibited the binding of [3H]Arg-vasopressin to arginine-vasopressin receptor VIb and VIa by 50% at 1-100 x 10-9 M and 10-8-10-6 M, resp.

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Ι

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-[1-(benzenesulfonyl)-3-phenyl-2-oxo-1,3-dihydro-2H-indol-3-

yl]-4-fluoro-L-proline derivs. as antagonists of arginine-vasopressin V1b receptor)

- RN 847865-89-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 847865-90-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl, (25,45)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 847865-91-2 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[{2,4-dimethoxyphenyl}]sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4,4-difluoro-N,Ndimethyl-, (2S)- (CA INDEX NAME)

- RN 847865-92-3 HCAPLUS
- CN L-Proline, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-fluoro-, methyl ester, (4S)- (CA INDEX NAME)

- RN 847865-93-4 HCAPLUS
- CN L-Proline, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-fluoro-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 847865-94-5 HCAPLUS

2-Pyrrolidinecarboxamide, 1={5-chloro-1={(2,4-dimethoxyphenyl)sulfonyl)-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-,(2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 847865-96-7 HCAPLUS

- RN 847865-97-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N-ethyl-4-fluoro-, (2S)- (CA INDEX NAME)

- RN 847865-98-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3R)-3-(2,4-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)) ulfonyl]-2,3-dihydro-5,6-dimethoxy-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 847865-99-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-[2-(1-methylethyl)phenyl]-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

- RN 847866-01-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-1,2,3,5,6,7-hexahydro-3-(2-hydroxyphenyl)-2-oxocyclopent[f]indol-3-yl]-4-fluoro-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 847866-02-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(2fluorophenyl)-2,3-dihydro-2-oxo-5-(trifluoromethyl)-1H-indol-3-yl]-4fluoro-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

- RN 847866-03-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxypheny)]sulfony]]-2,3-dihydro-2-oxo-3-[2-(trifluoromethoxy)pheny]]-6-(trifluoromethyl)-1Hindol-3-yl]-4-fluoro-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 847866-04-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-5-(trifluoromethoxy)-1H-indol-3-yl]-4-fluoro-N,Ndimethyl-, (28,4R)- (CA INDEX NABE)

- RN 847866-05-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3R)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-5-methyl-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

RN 847866-07-3 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[(3S)-4,5-dichloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxy-5-methylphenyl)-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 847866-08-4 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(5-chloro-2-methoxyphenyl)-1-[(2,4-dimethoxyphenyl) sulfonyl]-2,3-dihydro-4-methyl-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 847866-09-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3R)-3-(1,3-benzodioxol-4-yl)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 847866-10-8 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dibutoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 847866-12-0 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3S)-3-(2,4-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-5,6-dimethoxy-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 847866-14-2 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(35)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-5-methyl-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (25,48)- (CA INDEX NAME)

RN 847866-15-3 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[(3R)-4,5-dichloro-1-[(2,4-dimethoxyphenyl)sulfonyl)-2-3-dihydro-3-(2-methoxy-5-methylphenyl)-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 847866-16-4 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[(3S)-3-(1,3-benzodioxol-4-yl)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihyddro-2-oxo-1H-indol-3-yl]-4-fluoro-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

- IT 847866-69-7P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of 1-[1-(benzenesulfonyl)-3-phenyl-2-oxo-1,3-dihydro-2H-indol-3-yl]-4-fluoro-L-proline derivs. as antagonists of arginine-vasopressin Vib receptor)
- RN 847866-69-7 HCAPLUS
 - V 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-1,2,3,5,6,7-hexahydro-2-oxo-3-[2-(phenylmethoxy)phenyl]cyclopent[f]indol-3-yl]-4-fluoro-N.-dimethyl-, (22,48)- (CA INDEX NAME)

US 10/574211

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:177819 HCAPLUS Full-text
DOCUMENT NUMBER: 142:280224

TITLE: A combinatorial preparation of N-containing

heterocycles, useful as caspase-3 inhibitors

INVENTOR(S): Ivashchenko, Alexander Vasilievich; Ilyin, Alexey Petrovich; Kobak, Vladimir Vasilievich; Kravchenko, Dmitri Vladimirovich; Khvat, Alexander Viktorovich;

Tkachenko, Sergey Yevgenievich; Okun, Ilya Matusovich PATENT ASSIGNEE(S): Chemical Diversity Research Institute, Ltd., Russia

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

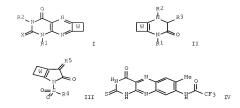
LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 142:280224

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- AB The invention relates to a combinatorial preparation of N-containing heterocycles of formulas I, II, and III [wherein: R1, R2, and R3 are independently H or inert substituents; R4 is (cyclo)alkyl, aryl, or heterocyclyl; R5 is 0 or 4-7-membered (heterolcycle attached to the pyrrole ring by carbon; W is (un)substituted carbocycle or heterocycle; X is 0 or S], useful as caspase-3 inhibitors. For instance, 2,3-dihydro-1H-benzo[g]pteridin-4-one derivs. were prepared with yields of 40-90%. The invention compds. were tested for caspase-3 inhibition (IV, IC50 = 265 nM).
- IT 361166-05-4P 361166-07-6P 430428-93-6P 847363-16-0P 847363-18-2P 847363-23-9P RI: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses) (preparation of N-containing heterocycles useful as caspase 3 inhibitors)
- RN 361166-05-4 HCAPLUS
- CN 2H-Indol-2-one, 1,3-dihydro-1-[(4-methylphenyl)sulfonyl]-3-[4-oxo-3-(phenylmethyl)-2-thioxo-5-thiazolidinylidene]- (CA INDEX NAME)

- RN 361166-07-6 HCAPLUS
- CN 2H-Indol-2-one, 3-[3-(2-furanylmethyl)-4-oxo-2-thioxo-5-thiazolidinylidene]-1,3-dihydro-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

RN 430428-93-6 HCAPLUS

CN 2H-Indol-2-one, 3-[3-(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1H-pyrazol-4-yl)-4-oxo-2-thioxo-5-thiazolidinylidene]-1,3-dihydro-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

RN 847363-16-0 HCAPLUS

CN 2H-Indol-2-one, 3-[3-(1,3-benzodioxol-5-yl)-4-oxo-2-thioxo-5thiazolidinylidene]-1,3-dihydro-1-[(4-methylphenyl)sulfonyl]-NAME)

RN 847363-18-2 HCAPLUS

CN 3-Thiazolidineacetic acid, 5-[1,2-dihydro-1-[(4-methylphenyl)sulfonyl]-2oxo-3H-indol-3-ylidene]-4-oxo-2-thioxo- (CA INDEX NAME)

RN 847363-23-9 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4-[1,2-dihydro-1-[(4-methylphenyl)sulfonyl)-2-oxo-3H-indol-3-ylidene|-4,5-dihydro-5-oxo-1-(4-sulfophenyl)-, 3-ethyl ester (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:59993 HCAPLUS Full-text

DOCUMENT NUMBER: 140:128266

TITLE: Preparation of acyloxypyrrolidines as vasopressin

receptors Vla and Vlb ligands

INVENTOR(S): Aulombard, Alain; Garcia, Georges; Serradeil Le Gal,

Claudine; Wagnon, Jean

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr. SOURCE: Fr. Demande, 22 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

LANGUAGE: Free
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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OTHER SO						140:											

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^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Rl = H, cyclo/alkyl, CH2CH2CO2H; and their salts with organic or inorg, bases, solvates and/or hydrates] were prepared as selective ligands for binding to vasopressin receptors Vla and Vlb or for Vlb receptor alone for treating arginine-vasopressin related disorders. Thus, treating the alc. II with acetic anhydride in DMAP at reflux for 30 min gave I (Rl = Me) (m.p. = 194-195°). In an in virro test, III showed an ICSO values of 3.4 nM, and 84 nM for the binding to human vasopressin receptor Vlb, and Vla resp.

IT 439687-69-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of acyloxypyrrolidines as vasopressin receptors V1a and V1b liqands)

RN 439687-69-1 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[(3R)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

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649726-53-4P, (3R,5S)-1-[(3R)-5-Chloro-1-[(2,4-
dimethoxyphenyl)sulfonyl]-3-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-indol-3-
yl]-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl acetate
649726-58-9P, (3R,5S)-1-[(3R)-5-Chloro-1-[(2,4-
dimethoxyphenyl)sulfonyl]-3-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-indol-3-
vl]-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl propionate
649726-60-3P, (3R,5S)-1-[(3R)-5-Chloro-1-[(2,4-
dimethoxyphenyl)sulfonyl]-3-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-indol-3-
v1]-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl formate
649726-62-5P, (3R,5S)-1-[(3R)-5-Chloro-1-[(2,4-
dimethoxyphenyl)sulfonyl]-3-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-indol-3-
yl]-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl cyclohexanecarboxylate
649726-64-7P, (3R,5S)-1-[(3R)-5-Chloro-1-[(2,4-
dimethoxyphenyl)sulfonyl]-3-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-indol-3-
v11-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl 2-methylpropanoate
649726-66-9P, 4-[[(3R,5S)-1-[(3R)-5-Chloro-1-[(2,4-
dimethoxyphenyl)sulfonyl]-3-(2-methoxyphenyl)-2,3-dihydro-1H-indol-3-yl]-5-
[(dimethylamino)carbonyl]-3-pyrrolidinyl]oxy]-4-oxobutanoic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (vasopressin receptors Vla and Vlb ligand; preparation of
   acyloxypyrrolidines as vasopressin receptors V1a and V1b ligands)
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2-Pyrrolidinecarboxamide, 4-(acetyloxy)-1-[(3R)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-

Absolute stereochemistry. Rotation (-).

vl]-N, N-dimethyl-, (2S, 4R)- (CA INDEX NAME)

649726-53-4 HCAPLUS

RN

RN 649726-58-9 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-{(3R)-5-chloro-1-{(2,4dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3yl]-M,N-dimethyl-4-(1-oxopropoxy)-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 649726-60-3 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[(3R)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-(formyloxy)-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

- RN 649726-62-5 HCAPLUS
- CN Cyclohexanecarboxylic acid, (3R,55)-1-((3R)-5-chloro-1-({2,4dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3yl]-5-((dimethylamino)carbonyl]-3-pyrrolidinyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

- RN 649726-64-7 HCAPLUS
- CN Propanoic acid, 2-methyl-, (38,55)-1-[(3R)-5-chloro-1-[(2,4dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3yl]-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 649726-66-9 HCAPLUS

CN Butanedioic acid, 1-[(3R,55)-1-[(3R)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl] ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:591307 HCAPLUS Full-text

DOCUMENT NUMBER: 139:143997

DOCUMENT NUMBER: 139:14399

TITLE: Methods using Edg receptor modulators for the treatment of Edg receptor-associated conditions

INVENTOR(S): Shankar, Geetha; Solow-Cordero, David; Spencer, Juliet

V.; Gluchowski, Charles

PATENT ASSIGNEE(S): Ceretek LLC, USA

SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

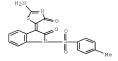
FAMILY ACC. NUM. COUNT: 5

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OTHER SOURCE(S):

- MARPAT 139:143997 The invention provides a method of modulating an Edg-2, Edg-3, Ed-4 or Edg7
- receptor-mediated biol. activity in a cell. A cell expressing the Edg-2, Edg-3, Edg-4 or Edg 7 receptor is contacted with a modulator of the Edg-2, Edg-3, Ed-4 or Edg 7 receptor sufficient to modulate receptor mediated biol. activity. In another aspect, the present invention provides a method for modulating an Edg-2, Edg-3, Ed-4 or Edg-7 receptor mediated biol. in a subject. A therapeutically effective amount of a modulator of the Edg-2, Edg-3, Ed-4 or Edg7 receptor is administered to the subject. Preparation of compds., e.g. 4,4,4-trifluoro-3-oxo-N-(5-phenyl-2H-pyrazol-3-yl)butyramide, is described.
- TT 342384-25-2P
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 - (Edg receptor modulators for treatment of Edg receptor-associated conditions)
- 342384-25-2 HCAPLUS RN
- CN 2H-Indol-2-one, 3-(2-amino-4-oxo-5(4H)-thiazolylidene)-1,3-dihydro-1-[(4methylphenyl)sulfonyll- (CA INDEX NAME)



THERE ARE 11 CAPLUS RECORDS THAT CITE THIS OS.CITING REF COUNT:

RECORD (11 CITINGS)

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:371451 HCAPLUS Full-text

DOCUMENT NUMBER: 137:288889

TITLE:

Anxiolytic- and antidepressant-like effects of the non-peptide vasopressin V1b receptor antagonist,

SSR149415, suggest an innovative approach for the

treatment of stress-related disorders

Griebel, Guy; Simiand, Jacques; Serradeil-Le Gal, AUTHOR(S): Claudine; Wagnon, Jean; Pascal, Marc; Scatton,

Bernard; Maffrand, Jean-Pierre; Soubrie, Philippe

CORPORATE SOURCE: Sanofi-Synthelabo Recherche, Bagneux, 92220, Fr. SOURCE: Proceedings of the National Academy of Sciences of the

United States of America (2002), 99(9), 6370-6375

CODEN: PNASA6: ISSN: 0027-8424

PUBLISHER: National Academy of Sciences DOCUMENT TYPE: Journal

LANGUAGE: Enalish

The limbic localization of the arginine vasopressin V1b receptor has prompted speculation as to a potential role of this receptor in the control of emotional processes. To investigate this possibility, we have studied the behavioral effects of SSR149415, the first selective and orally active non-peptide antagonist of vasopressin V1b receptors, in a variety of classical (punished drinking, elevated plus-maze, and light/dark tests) and atypical (fear/anxiety defense test battery and social defeat-induced anxiety) rodent models of anxiety, and in two models of depression [forced swimming and chronic mild stress (CMS)]. When tested in classical tests of anxiety, SSR149415 produced anxiolytic-like activity at doses that ranged from 1 to 30 mg/kg (i.p. or p.o.), but the magnitude of these effects was overall less than that of the benzodiazepine anxiolytic diazepam, which was used as a pos. control. In contrast, SSR149415 produced clear-cut anxiolytic-like activity in models involving traumatic stress exposure, such as the social defeat paradigm and the defense test battery (1-30 mg/kg, p.o.). In the forced swimming test, SSR149415 (10-30 mg/kg, p.o.) produced antidepressant-like effects in both normal and hypophysectomized rats. Moreover, in the CMS model in mice, repeated administration of SSR149415 (10 and 30 mg/kg, i.p.) for 39 days improved the degradation of the phys. state, anxiety, despair, and the loss of coping behavior produced by stress. These findings point to a role for vasopressin in the modulation of emotional processes via the V1b receptor, and suggest that its blockade may represent a novel avenue for the treatment of affective disorders. 439687-69-1, SSR 149415

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anxiolytic- and antidepressant-like effects of non-peptide vasopressin

V1b receptor antagonist, SSR149415)

RN 439687-69-1 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[(3R)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

OS.CITING REF COUNT: 152 THERE ARE 152 CAPLUS RECORDS THAT CITE THIS

RECORD (152 CITINGS)

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RECORD. AND CITATIONS AVAILABLE IN THE RE FORM

L9 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:203647 HCAPLUS Full-text

DOCUMENT NUMBER: 137:57427

TITLE: Characterization of

(2S, 4R)-1-[5-Chloro-1-[(2, 4-dimethoxyphenyl)sulfonyl]-

3-(2-methoxy-phenyl)-2-oxo-2,3-dihydro-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-2-pyrrolidinecarboxamide

(SSR149415), a selective and orally active vasopressin

V1b receptor antagonist

AUTHOR(S): Serradeil-Le Gal, Claudine; Wagnon, Jean; Simiand, Jacques; Griebel, Guy; Lacour, Colette; Guillon,

Gilles; Barberis, Claude; Brossard, Gabrielle; Soubrie, Philippe; Nisato, Dino; Pascal, Marc; Pruss, Rebecca; Scatton, Bernard; Maffrand, Jean-Pierre; Le

Fur, Gerard

CORPORATE SOURCE: Exploratory Research Department, Sanofi-Synthelabo

Recherche, Bagneux, Fr.

SOURCE: Journal of Pharmacology and Experimental Therapeutics

(2002), 300(3), 1122-1130 CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics
DOCUMENT TYPE: Journal

LANGUAGE: English

AB (2S,4R)-1-[5-Chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(2-methoxy-phenyl)- 2-oxo-2,3-dihydro-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-2-

pyrrolidinecarboxamide(SSR149415), the first selective, nonpeptide vasopressin V1b receptor antagonist yet described, has been characterized in vitro and in vivo.

SSR149415 showed competitive nanomolar affinity for animal and human V1b receptors and exhibited much lower affinity for rat and human Vla, V2, and oxytocin receptors. Moreover, this compound did not interact with a large number of other receptors, enzymes, or ion channels. In vitro, SSR149415 behaved as a full antagonist and potently inhibited arginine vasopressin (AVP)-induced Ca2+ increase in Chinese hamster ovary cells expressing rat or human Vlb receptors. The in vivo activity of SSR149415 has been studied in several models of elevated corticotropin secretion in conscious rats. SSR149415 inhibited exogenous AVP-induced increase in plasma corticotropin, from 3 mg/kg i.p. and 10 mg/kg p.o. upwards. Similarly, this compound antagonized AVP-potentiated corticotropin release provoked by exogenous corticoliberin at 3 mg/kg p.o. The effect lasted for more than 4 h at 10 mg/kg p.o. showing a long-lasting oral effect. SSR149415 (10 mg/kg p.o.) also blocked corticotropin secretion induced by endogenous AVP increase subsequent to body water loss. Moreover, 10 mg/kg i.p SSR149415 inhibited plasma corticotropin elevation after restraint-stress in rats by 50%. In the four-plate test, a mouse model of anxiety, SSR149415 (3 mg/kg p.o. upwards) displayed anxiolytic-like activity after acute and 7-day repeated administrations. Thus, SSR149415 is a potent, selective, and orally active Vlb receptor antagonist. It represents a unique tool for exploring the functional role of Vlb receptors and deserves to be clin. investigated in the field of stress and anxiety.

ΙT 439687-69-1, SSR 149415

> RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (characterization of SSR149415 on activity of vasopressin V1b receptor)

RN 439687-69-1 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[(3R)-5-chloro-1-[(2,4dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3yl]-4-hydroxy-N, N-dimethyl-, (2S, 4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

OS.CITING REF COUNT: 78 THERE ARE 78 CAPLUS RECORDS THAT CITE THIS RECORD (78 CITINGS)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:565024 HCAPLUS Full-text DOCUMENT NUMBER: 135:152717 TITLE: Preparation of N-oxoindolylpyrrolidine-2-carboxamides and analogs as vasopressin Vla and Vlb receptor

US 10/574211

ligands

INVENTOR(S): Roux, Richard; Serradeil-Le Gal, Claudine; Tonnerre,

Bernard; Wagnon, Jean Sanofi-Synthelabo, Fr. PCT Int. Appl., 82 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

SOURCE:

PA:	PATENT NO.				KIND DATE				APPLICATION NO.						DATE				
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US 7129240	B2	20061031					
US 20070004703	A1	20070104	US	2006-462062		20060803	<
US 7297692	B2	20071120					
PRIORITY APPLN. INFO.:			FR	2000-957	Α	20000125	<
			WO	2001-FR226	W	20010124	<
			US	2002-182048	A3	20020724	<
			US	2004-835209	A3	20040429	
OTHER SOURCE(S):	MARPAT	135:152717					

GI

AB Title compds. ([un]substituted I; R = 2,4 or 3,4-dialkoxyphenylsulifonyl; R1 = halo, alkyl, alkoxy, CF3, OCF3; 1 of R2,R7 = OR6 and the other = H; R4 = 287; R3 = halo, OH, alkyl, alkoxy, OCF3; R5 = NHEt, NMe2, azetidino, alkoxy; R7 = H, alkyl, alkoxycarbonylalkyl, etc.; Z = (un)substituted 1,2-phenylene] were prepared Thus, 5-chloroindole-2,3-dione was condensed with 2-(MeO)CGH4MAgBr and the chlorinated product aminated by (25,4R)-4-hydroxy-N,N-dimethyl-2-pyrrolidinecarboxamide (preparation given) to give (+) and (-)-I [R = 1cl, R2 = GH4(OMe)-2, R5 = NMe2, R7 = OH1[(+)- and (-)-II [R = H] the latter of which was condensed with 2,4-(MeO)2CGH3SO2C1 to give (-)-II [R = SO2CGH3(OMe)2-2, H) Data for biol activity of

352276-93-8P	352276-95-0P
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352277-29-3P	352277-31-7₽
352277-35-19	352277-37-3P
352277-41-99	352277-43-1P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-oxoindolylpyrrolidine-2-carboxamides and analogs as vasopressin V1a and V1b receptor ligands)

RN 352276-92-7 HCAPLUS

I were given.

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,Ndimethyl-, (25,4R)- (CA INDEX NAME)

RN 352276-93-8 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl) sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-methoxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 352276-95-0 HCAPLUS

CN d=Pyrrolidinecarboxamide, 1-[5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfionyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352276-97-2 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-methoxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352276-99-4 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(3,4-dimethoxyphenyl) sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-01-1 HCAPLUS
- CN L-Proline, 1-[5-chloro-1-[(3,4-dimethoxyphenyl)sulfonyl)-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-, methyl ester, (4R)- (CA INDEX NAME)

- RN 352277-03-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3R)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-M,N-dimethyl-, (2S,4S)- (CA INDEX NAME)

- RN 352277-05-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[(3S)-5-chloro-1-[(2, A-dimethoxyphenyl)] sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl-4-hydroxy-N,N-dimethyl-, (2S, 4S)- (CA INDEX NAME)

- RN 352277-07-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-((2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-5-methyl-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 352277-09-9 HCAPLUS
- CN 2H-Indol-2-one, 3-[(25,48)-2-(1-azetidinylcarbonyl)-4-hydroxy-1pyrrolidinyl)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl)-1,3-dihydro-3-(2methoxyphenyl)- (CA INDEX NAME)

- RN 352277-11-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-5-(trifluoromethoxy)-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-13-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-6-methyl-2-oxo-lH-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

- RN 352277-15-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-5,6-dimethyl-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-17-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,3-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)]-1-[(2,4-dimethoxyphenyl)]-1-[(2,4-dimethoxyphenyl)]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-methoxy-N,N-dimethyl-, (28,48) (CA INDEX NAME)

- RN 352277-19-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-6-(trifluoromethyl)-1H-indol-3-yl]-4methoxy-N,N-dimethyl-, (2S,4R)- (CA INDEX NAME)

- RN 352277-21-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[6-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-5-methyl-2-oxo-lH-indol-3-yl]-4-methoxy-N,N-dimethyl-, (28,4R)- (CA INDEX NAME)

- RN 352277-23-7 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-ethoxy-N,N-dimethyl, (28,4R)- (CA INDEX NAME)

- RN 352277-25-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,3-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)]-1-[(2,4-dimethoxyphenyl)]-1-[(2,4-dimethoxyphenyl)]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,48) (CA INDEX NAME)

- RN 352277-27-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5,6-dichloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-29-3 HCAPLUS
- CN L-Proline, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-hydroxy-, methyl ester, (4R)- (CA INDEX NAME)

- RN 352277-31-7 HCAPLUS
- CN L-Proline, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2methoxyphenyl)-6-methyl-2-oxo-1H-indol-3-yl)-4-hydroxy-, methyl ester, (4R)- (CA INDEX NAME)

- RN 352277-33-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-3-(2-ethoxyphenyl)-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,48)- (CA INDEX NAME)

- RN 352277-35-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-3-[2-(trifluoromethoxy)phenyl]-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-37-3 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,3-difluorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,48) (CA INDEX NAME)

- RN 352277-39-5 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-3-(2,4-dimethoxyphenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-41-9 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[3-(1,3-benzodioxol-4-yl)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-4-hydroxy-N,N-dimethyl-, (28,48)- (CA INDEX NAME)

- RN 352277-43-1 HCAPLUS
- CN 2-Pyrrolidinecarboxamide, 1-[5,6-dichloro-1-[(2,4dimethoxyphenyl) sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3yl]-4-hydroxy-M,N-dimethyl-, (25,4R)- (CA INDEX NAME)

- RN 352277-45-3 HCAPLUS
- CN Acetic acid, 2-[[(3R,5S)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-5[(dimethylamino)carbonyl]-3-pyrrolidinyl]oxy]-, 1,1-dimethylethyl ester
 (CA INDEX NAME)

Absolute stereochemistry.

- RN 352277-47-5 HCAPLUS
- CN Acetic acid, 2-[[(3R,55)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl]oxy] (CA INDEX NAME)

RN 352277-48-6 HCAPLUS

CN Acetic acid, 2-[[(3R,5S)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-5[(dimethylamino)carbonyl]-3-pyrrolidinyl]oxy]-, 2,2,2-trifluoroacetate
[1:1) (CA INDEX NAME)

CM

CRN 352277-47-5

CMF C32 H34 C1 N3 O10 S

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 352277-50-0 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-[2-[[2-hydroxy-1(hydroxymethyl)-1-methylethyl]amino]-2-oxoethoxy]-N,N-dimethyl-, (2S,4R)(CA INDEX NAME)

Absolute stereochemistry.

RN 352277-52-2 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl)-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-4-[2-oxo-2-(1-piperazinyl)ethoxy]-, (2S,4R)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

352277-53-3 HCAPLUS RN

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-N,N-dimethyl-4-[2-oxo-2-(1-piperazinyl)ethoxy]-, (2S,4R)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 352277-52-2 Absolute stereochemistry.

CMF C36 H42 C1 N5 O9 S

PAGE 1-A

PAGE 2-A

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 352277-55-5 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-y-]-N,N-dimethyl-4-[2-(4-morpholinyl)-2-oxoethoxy]-, (2S,4R)- (CA INDEX NAME)

- RN 352277-57-7 HCAPLUS
- CN 4-Morpholinepropanoic acid, (3R,5S)-1-[(3R)-5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 352277-59-9 HCAPLUS

CN 4-Morpholinepropanoic acid, (3R,58)-1-[(3S)-5-chloro-1-[(2,4dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3yl)-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

RN 352277-61-3 HCAPLUS

CN L-Proline, 1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2methoxyphenyl)-2-oxo-1H-indol-3-yl]-4-methoxy-, methyl ester, (4R)- (CA INDEX NAME)

- IT 352278-78-5P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of N-oxoindolylpyrrolidine-2-carboxamides and analogs as vasopressin V1a and V1b receptor ligands)
- RN 352278-78-5 HCAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[2-[[(3R,5S)-1-[5-chloro-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-3-(2-methoxyphenyl)-2-oxo-1H-indol-3-yl]-5-[(dimethylamino)carbonyl]-3-pyrrolidinyl]oxy]acetyl]-, l,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS

RECORD (25 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:31498 HCAPLUS Full-text

DOCUMENT NUMBER: 134:86237

TITLE:

Preparation of thiazolidinyl substituted indoles for the treatment of cancer

INVENTOR(S):

Chin, Allison C.; Tolman, Richard L.; Nguyen, Mark Q.; Holcomb, Ryan

PATENT ASSIGNEE(S):

Geron Corporation, USA SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.				KIND DATE					ICAT									
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		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	
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		IE,	SI,	LT,	LV,	FI,	RO											
US	6372	742			B1		2002	0416		US 2	-000	6088	61		2	0000	630	<
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										US 2	2000-	6088	61		A1 2	0000	630	<
										WO 2	2000-	US18	112		W 2	0000	630	<
R S0	TIRCE	(8) .			MARI	TAG	134.	8623	7									

OTHER SOURCE(S): MARPAT 134:86237

GI

- AB The title compds. [I; X1 = 0, S, CH2, NR5 (wherein R5 = H, alkyl, aryl); L1 = a single or double bond, CH2, CH; R1 = H, OR5, SR5, etc.; R2, R3 = H, OH, halo, etc.; L2 = a bond, a linking group having 1-3 atoms selected from (un)substituted C, N, O, S; R4 = H, alkyl, alkaryl, etc.], useful in inhibiting telomerase activity and treatment of telomerase mediated conditions or diseases such as cancer, were prepared E.g., a 2-step synthesis of the indole II was given. The exemplified compds. I were tested for telomerase inhibition and showed IC50 of < 100 µM.
 - 318294-74-5P 318294-77-8P 318294-62-5P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

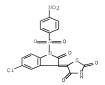
(preparation of thiazolidinyl substituted indoles for the treatment of cancer)

RN 318294-74-5 HCAPLUS

CN

2,4-Thiazolidinedione, 5-[5-chloro-1,2-dihydro-1-[(4-methylphenyl)sulfonyl]-2-oxo-3H-indol-3-ylidene]- (CA INDEX NAME)

- RN 318294-77-8 HCAPLUS
- CN 2,4-Thiazolidinedione, 5-[5-chloro-1,2-dihydro-1-[(4-nitrophenyl)sulfonyl]-2-oxo-3H-indol-3-ylidene]- (CA INDEX NAME)



RN 318294-82-5 HCAPLUS

3-Thiophenecarboxylic acid, 4-[[3-(2,4-dioxo-5-thiazolidinylidene)-2,3dihydro-2-oxo-1H-indol-1-yl]sulfonyl]-, methyl ester (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1996:393896 HCAPLUS Full-text DOCUMENT NUMBER: 125:58502

ORIGINAL REFERENCE NO.: 125:11249a,11252a

TITLE: Preparation of thiazolidinylideneindolinone

derivatives as cell migration inhibitors INVENTOR(S): Niigata, Kunihiro; Furuichi, Kyoshi; Masuoka, Kota;

Hirose, Toshihiro; Sasamata, Yoshiho; Kon, Akinari;

Jooji, Nikorasu Panayotoo; Maikeru, Dereku

Uootaafuiirudo

PATENT ASSIGNEE(S): Yamanouchi Pharma Co Ltd, Japan; Ruudobitsuhi Inst

Fuoa Kyansaa

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 10/574211

JP 08092248 A 19960409 JP 1994-229872 19940926 <-PRIORITY APPLM. INFO::
OTHER SOURCE(S): MARPAT 125:58502

- AB The title compds., e. g. I [B = S, etc.; A = CO, etc.; R = halo; n = 0 to 5], useful as PDGF-induced cell migration inhibitors (no data) for the treatment of inflammation, atherosclerosis, etc., are prepared I [B = S; A = CO; n = 2; R = 3-Cl and 4-Cl] was prepd . in a 2-step process starting with isatin and 3,4-dichlorobenzov1 chloride.
- IT 178241-22-0P 178241-23-1P 178241-24-2P
 178241-25-3P 178241-30-0P 178241-31-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolidinylideneindolinone derivs. as cell migration inhibitors)

- RN 178241-22-0 HCAPLUS
- CN 2H-Indol-2-one, 1,3-dihydro-3-(4-oxo-2-thioxo-5-thiazolidinylidene)-1[(2,4,5-trichlorophenyl)sulfonyl]- (CA INDEX NAME)

- RN 178241-23-1 HCAPLUS
- CN 2,4-Thiazolidinedione, 5-[1,2-dihydro-2-oxo-1-[(2,4,5trichlorophenyl)sulfonyl]-3H-indol-3-ylidene]- (CA INDEX NAME)

- RN 178241-24-2 HCAPLUS
- CN 2,4-Thiazolidinedione, 5-[1,2-dihydro-2-oxo-1-(phenylsulfonyl)-3H-indol-3ylidene]- (CA INDEX NAME)

- RN 178241-25-3 HCAPLUS
- CN 2H-Indol-2-one, 1,3-dihydro-3-(4-oxo-2-thioxo-5-thiazolidinylidene)-1-(phenylsulfonyl)- (CA INDEX NAME)

- RN 178241-30-0 HCAPLUS
- CN 2,4-Thiazolidinedione, 5-[1-[(2,5-dichlorophenyl)sulfonyl]-1,2-dihydro-2oxo-3H-indol-3-ylidene]- (CA INDEX NAME)

RN 178241-31-1 HCAPLUS

CN 2H-Indol-2-one, 1-[(2,5-dichlorophenyl)sulfonyl]-1,3-dihydro-3-(4-oxo-2thioxo-5-thiazolidinylidene)- (CA INDEX NAME)

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD OS.CITING REF COUNT: 1 (1 CITINGS)

1.9 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1995:858609 HCAPLUS Full-text

DOCUMENT NUMBER: 123:256516

ORIGINAL REFERENCE NO.: 123:45875a,45878a

TITLE: Indol-2-one derivatives substituted in the 3-position by a nitrogenous group, their preparation, and

pharmaceutical compositions containing them as vasopressin and/or oxytocin receptor ligands.

INVENTOR(S): Wagnon, Jean; Tonnerre, Bernard; Di Malta, Alain; Roux, Richard; Amiel, Marie-Sophie; Serradeil-Legal,

Claudine PATENT ASSIGNEE(S): Sanofi, Fr.

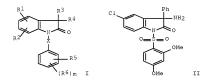
SOURCE: Fr. Demande, 70 pp. CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2714378	A1	19950630	FR 1993-15638	19931224 <
FR 2714378	B1	19960315		
WO 9518105	A1	19950706	WO 1994-FR1528	19941223 <
W: JP, LT, S	I, US			

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EP	687251			A1		1995	1220	E	19	995-9	9051	64		1	9941	223	<
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OTHER SO	DURCE (S):			CASI	REAC	T 12	3:25	6516:	MAE	RPAT	123	: 256	516				

OTHER SOURCE(S): CASREACT 123:256516; MARPAT 123:256516

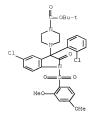


- AB Title compds. I [R1, R2 = H, halo, alkyl, alkoxy, CF3; R3 = alkyl, cycloalkyl, (dialkylcyclohexyl, (un)substituted Ph; R4 = N3, alkylsulfonamido, (un)substituted phenylsulfonamido, dimethylaminosulfonamido, (un)substituted NHZ, heterocyclyl; R5 = H, R6; R6 = halo, alkyl, CF3, cyano, (di)(alkyl)aminomethyl, NOZ, (un)substituted amino, carboxy, carbamoyl, acyl, etc.; X = SOZ, CH2; m = 1, and sometimes 2-4] and salts are claimed, and approx. 100 examples are given. The compds. have affinity for vasopressin and/or oxytocin receptors, and are useful for treating disorders of the central and peripheral nervous, cardiovascular, renal, and gastric systems, as well as sexual disorders. For example, bromination of 5-chloro-1,3-dihydro-3-phenylindol-2-one with Br2 in CCl4 gave the 3-bromo derivative, which reaced with anhydrous NH3 in Et2O to give the 3-amino derivative Treatment of this with NH4 in DMF and then with 2,4-(MeO)2C6H3SOZCl yielded title compound II. In a test for inhibition of binding of [3H]-arginine-vasopressin to bovine renal V2 receptors, I had ICSO down to 10-9 M.
- IT 169039-90-1P 169040-06-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of indolone derivs. as vasopressin and/or oxytocin receptor ligands)

- RN 169039-90-1 HCAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[5-chloro-3-(2-chloropheny1)-1-[(2,4-dimethoxyphenyl)sulfonyl]-2,3-dihydro-2-oxo-1H-indol-3-yl]-,
 1,1-dimethylethyl ester (CA INDEX NAME)



RN 169040-06-6 HCAPLUS

CN 2H-Indol-2-one, 5-chloro-3-(2-chlorophenyl)-1-[(2,4-dimethoxyphenyl)sulfonyl]-1,3-dihydro-3-(1-piperidinyl)- (CA INDEX NAME)

OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1977:72349 HCAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 86:72349 ORIGINAL REFERENCE NO.: 86:11455a,11458a

TITLE: Behavior of N-(substituted thio)phthalimides,

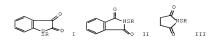
N-(substituted thio)succinimides, and N-(substituted thio)isatins toward some nucleophiles
AUTHOR(S): Furukawa, Mitsuru; Suda, Tchiaki; Havashi, Seigoro

CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, Japan SOURCE: Chemical & Pharmaceutical Bulletin (1976), 24(8), 1708-13

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

LANGUAGE: English



- AB New compds. of N-(substituted thio)isatins I (R = Ph, m-MecGH4, o-O2NCGH4, p-CLCGH4, PhCH2) were synthesized and reactions with several nucleophiles were examined in comparison with the reaction using N-(substituted thio)phthalimides II and N-(substituted thio)succinimides III (R = Ph, p-MecGH4, o-O2NCGH4, PhCH2). All of I, II, and III reacted with organometallic compds. cyanide ion, and trichloromethyl carbanion to give sulfides, thiocyanates, and trichloromethyl sulfides resp. The reaction of I with amines gave 3-amino-1-(substituted thio)-3-hydroxy-2-oxoindoles.
- IT 61639-73-4P
- RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 61639-73-4 HCAPLUS
- CN 2H-Indol-2-one, 1,3-dihydro-3-hydroxy-3-(4-morpholiny1)-1-[(2-nitropheny1)thio]- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

US 10/574211

=> d his nofile

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L3	2698 SEA SSS FUL L1
	FILE 'HCAPLUS' ENTERED AT 14:31:37 ON 13 NOV 2009
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L6	16 SEA ABB=ON PLU=ON L5 AND (AY=<2003 OR PY=<2003 OR PRY=<2003
	OR PD=< OCTOBER 30, 2003)
L7	12 SEA ABB=ON PLU=ON L5(L)(?DRUG? OR ?PHARMA? OR ?MEDIC? OR
	?THERAP?)
L8	4 SEA ABB=ON PLU=ON L6 AND L7
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